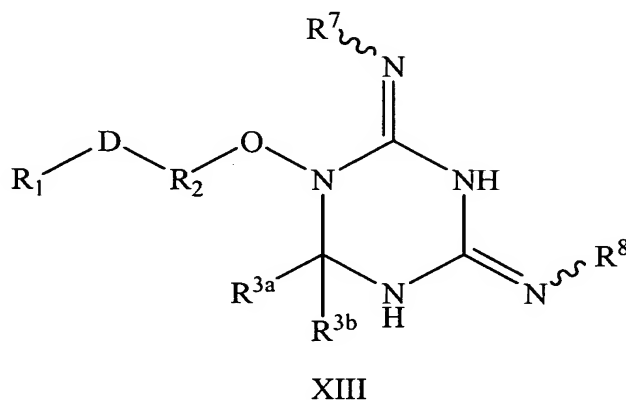
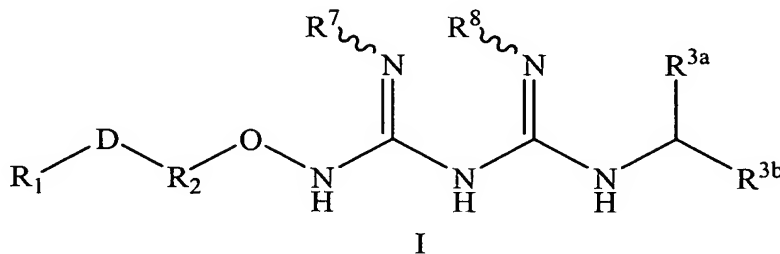


We claim:

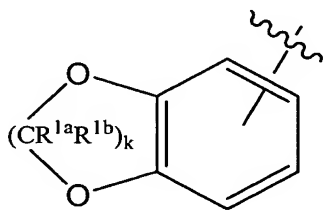
1. A compound of formula I or XIII or a pharmaceutically acceptable salt thereof:



wherein:

R^1 is R^x , substituted aryl, substituted alkyl, substituted fused cycloalkylaryl, substituted aralkyl, substituted cycloalkylarylalkyl, substituted heteroaryl, or substituted heteroarylalkyl, wherein the latter seven groups are substituted with at least one substituent selected from the group consisting of $-CN$, $-OCF_3$, haloalkoxy, $-SR^4$, $-OCF_3$, $-SCF_3$, haloalkylthio, $-NR^5R^6$, $-SO_2R^4$, $-SO_2NR^5R^{6a}$, heteroaryl, heterocyclyl or $R^{10}O-(CH_2CH_2O)_j-$, or combinations thereof;

R^x is substituted or unsubstituted:



wherein each R^{1a} and R^{1b} are independently H, alkyl or fluoro;

R^2 is branched or straight chain lower alkylidene, or lower alkylene;

R^{3a} and R^{3b} are each independently hydrogen, lower alkyl, lower alkenyl, lower alkynyl, or taken together form a cycloalkyl or spiroalkyl group;

each R^4 is independently hydrogen, lower alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, aryl, substituted aryl, haloaryl, acyl, or heterocyclyl;

each R^5 is independently hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl substituted aryl, or acyl;

each R^6 is independently hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl substituted aryl, acyl, $-\text{SO}_2\text{R}^5$, or $\text{SO}_2\text{NR}^5\text{R}^5$; or R^5 and R^6 taken together with the atom to which they are attached form a heterocycle;

each R^{6a} is independently hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl substituted aryl, acyl; or R^5 and R^{6a} taken together with the atom to which they are attached form a heterocycle;

R^7 and R^8 are each, independently, hydrogen, alkyl, or acyl;

D is lower alkylidene, lower alkylene, $-\text{O}-$, $-\text{S}-$, or $-\text{N}(\text{R}^9)-$;

R^9 is hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl-substituted aryl, or acyl;

R^{10} is alkyl or haloalkyl;

j is an integer from 1 to 20; and

k is an integer from 1 to 4;

provided that, in a compound of formula XIII, when R^{3a} and R^{3b} are each independently H, lower alkyl, or taken together form a cycloalkyl or spiroalkyl group and R^7 and R^8 are each hydrogen, then R^1 is R^x or is substituted with at least one substituent from the group consisting of $-\text{OCF}_3$, haloalkoxy, and $\text{R}^{10}\text{O}-(\text{CH}_2\text{CH}_2\text{O})_j-$.

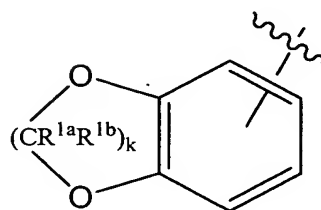
2. The compound of claim 1,

wherein the compound is of formula I.

3. The compound of claim 1,

wherein R^1 is substituted with at least one substituent selected from the group consisting of $-\text{OCF}_3$, haloalkoxy, $-\text{SCF}_3$, haloalkylthio or $\text{R}^{10}\text{O}-(\text{CH}_2\text{CH}_2\text{O})_j-$.

4. The compound of claim 1, wherein R^1 is substituted or unsubstituted:



5. The compound of claim 4,
 wherein R^x is substituted with one to four substituents selected, independently, from the group consisting of halo, $-\text{NO}_2$, $-\text{CN}$, $-\text{CF}_3$, $-\text{OCF}_3$, haloalkoxy, $-\text{SCF}_3$, haloalkylthio, haloalkyl, lower alkyl, spiroalkyl, aryl, alkoxy, $-\text{SR}^4$, $-\text{NR}^5\text{R}^6$, $-\text{SO}_2\text{R}^4$, $-\text{SO}^2\text{NR}^5\text{R}^{6a}$, heteroaryl, and heterocyclyl, and combinations thereof.
6. The compound of claim 1,
 wherein when the latter seven groups are further substituted with one to four substituents selected, independently, from the group consisting of halo, $-\text{NO}_2$, $-\text{CF}_3$, haloalkyl, lower alkyl, spiroalkyl, aryl, and alkoxy, and combinations thereof.
7. The compound of claim 1,
 wherein R^1 is substituted with at least one $-\text{SR}^4$.
8. The compound of claim 1,
 wherein:
 R^1 is 4-(trifluoromethanethio)-phenyl;
 D is $-\text{O}-$; and
 R^7 and R^8 are each hydrogen.
9. The compound of claim 1,
 wherein R^1 is substituted with at least one $-\text{NR}^5\text{R}^6$.
10. The compound of claim 1,
 wherein:
 R^1 is 4-(trifluoromethanesulfonamido)-phenyl;
 D is $-\text{O}-$; and

R^7 and R^8 are each hydrogen.

11. The compound of claim 1,
wherein R^1 is substituted with at least one $-SO_2R^4$.

12. The compound of claim 1,
wherein:
 R^1 is 4-(trifluoromethanesulfonyl)phenyl;
D is -O-; and
 R^7 and R^8 are each hydrogen.

13. The compound of claim 1,
wherein:
 R^1 is 2,4-bis-trifluoromethoxyphenyl;
D is -O-; and
 R^7 and R^8 are each hydrogen.

14. The compound of claim 1,
wherein:
 R^1 is 4-chloro-3- trifluoromethoxyphenyl;
D is -O-; and
 R^7 and R^8 are each hydrogen.

15. The compound of claim 1,
wherein:
 R^1 is 4,5-dichloro-2-trifluoromethoxyphenyl,
D is -O-; and
 R^7 and R^8 are each hydrogen.

16. The compound of claim 1,
wherein:
 R^1 is 2-chloro-4-trifluoromethoxyphenyl;
D is -O-; and
 R^7 and R^8 are each hydrogen.

17. The compound of claim 1,
wherein:
R¹ is 4-chloro-2-trifluoromethoxyphenyl;
D is -O-; and
R⁷ and R⁸ are each hydrogen.
18. The compound of claim 1,
wherein R^{3a} and R^{3b} are methyl, or taken together form a cyclopropyl or spiroalkyl group.
19. The compound of claim 1,
wherein R¹ is substituted with -CN or -OCF₃.
20. The compound of claim 1,
wherein R¹ is substituted with -SCF₃, -SO₂CF₃, or -NHSO₂CF₃.
21. The compound of claim 1,
wherein said compound is:
1-(3-(4-trifluoromethoxyphenoxy)propyloxy)-5-isopropyl biguanide;
1-(3-(4-trifluoromethoxyphenoxy)propyloxy)-5-isopropyl biguanide hemisuccinate;
1-(3-(4-trifluoromethoxyphenoxy)propyloxy)-5-cyclopropyl biguanide;
1-(3-(4-trifluoromethoxyphenoxy)propyloxy)-5-cyclopropyl biguanide hydrochloride;
6,6-Dimethyl-1-[3-(4-trifluoromethoxy-phenoxy)-propoxy] [1,3,5]triazinane-2,4-diylidenediamine;
6,6-Dimethyl-1-[3-(4-trifluoromethoxy-phenoxy)-propoxy] [1,3,5]triazinane-2,4-diylidenediamine hydrochloride;
1-[3-(2-chloro-4-trifluoromethoxyphenoxy)propyloxy]-5-isopropyl biguanide;
1-[3-(2-chloro-4-trifluoromethoxyphenoxy)propyloxy]-5-isopropyl biguanide phosphate salt;
1-[3-(4-chloro-2-trifluoromethoxyphenoxy)propyloxy]-5-isopropyl biguanide;

1-[3-(4-chloro-2-trifluoromethoxyphenoxy)propyloxy]-5-isopropyl biguanide,
mono phosphate salt;

1-[3-(4-chloro-2-trifluoromethoxyphenoxy)propyloxy]-5-isopropyl biguanide,
bis phosphate salt;

1-[3-(2,4-bis(trifluoromethoxy)phenoxy) propyloxy]-5-isopropyl biguanide;

1-[3-(4-chloro-3-trifluoromethoxy phenoxy)propyloxy]-5-isopropyl biguanide;

1-[3-(4,5-dichloro-2-trifluoromethoxy phenoxy)propyloxy]-5-isopropyl
biguanide;

1-[3-(2,2,3,3-Tetrafluoro-2,3-dihydro-benzo[1,4]dioxin-6-yloxy)propyloxy]-5-
isopropyl biguanide;

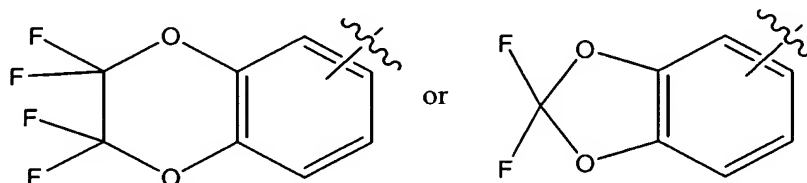
1-[3-(2,2-Difluoro-benzo[1,3]dioxol-5-yloxy) propyloxy]-5-isopropyl
biguanide;

1-[3-(4-(trifluoromethanethio)phenoxy)propyloxy]-5-isopropyl biguanide;

1-[3-(4- (trifluoromethanesulfonamido)phenoxy) propyloxy]-5-isopropyl
biguanide; or

1-[3-(4-(trifluoromethanesulfonyl)phenoxy)propyloxy]-5-isopropyl biguanide.

22. A compound of claim 2, wherein when R^1 is R^x , R^x , optionally substituted, is:



23. The compound of claim 6,
wherein R^1 is substituted aryl, substituted cycloalkylaryl, substituted aralkyl,
or substituted cycloalkylarylalkyl.
24. The compound of claim 7,
wherein R^4 of said $-SR^4$ is substituted lower alkyl.
25. The compound of claim 9,
wherein R^6 is $-SO_2R^5$ or $-SO_2NR^5R^{6a}$.
26. The compound of claim 11,

wherein R⁴ of said -SO₂R⁴ is substituted lower alkyl.

27. The compound of claim 21,

wherein said compound is:

1-(3-(4-trifluoromethoxyphenoxy)propyloxy)-5-isopropyl biguanide;

1-(3-(4-trifluoromethoxyphenoxy)propyloxy)-5-isopropyl biguanide
hemisuccinate;

1-(3-(4-trifluoromethoxyphenoxy)propyloxy)-5-cyclopropyl biguanide;

1-(3-(4-trifluoromethoxyphenoxy)propyloxy)-5-cyclopropyl biguanide
hydrochloride;

6,6-Dimethyl-1-[3-(4-trifluoromethoxy-phenoxy)-propoxy] [1,3,5]triazinane-
2,4-diylidenediamine;

6,6-Dimethyl-1-[3-(4-trifluoromethoxy-phenoxy)-propoxy] [1,3,5]triazinane-
2,4-diylidenediamine hydrochloride;

1-[3-(2-chloro-4-trifluoromethoxyphenoxy)propyloxy]-5-isopropyl biguanide;

1-[3-(2-chloro-4-trifluoromethoxyphenoxy)propyloxy]-5-isopropyl biguanide
phosphate salt;

1-[3-(4-chloro-2-trifluoromethoxyphenoxy)propyloxy]-5-isopropyl biguanide;

1-[3-(4-chloro-2-trifluoromethoxyphenoxy)propyloxy]-5-isopropyl biguanide,
mono phosphate salt; or

1-[3-(4-chloro-2-trifluoromethoxyphenoxy)propyloxy]-5-isopropyl biguanide,
bis phosphate salt.

28. The compound of claim 22, wherein:

D is -O-; and

R⁷ and R⁸ are each hydrogen.

29. The compound of claim 23,

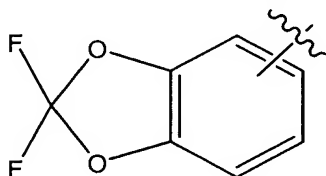
wherein R¹ is mono- or polysubstituted phenyl; and wherein R^{3a} and R^{3b} are
each independently lower alkyl, or taken together form a cycloalkyl or spiroalkyl
group.

30. The compound of claim 24,

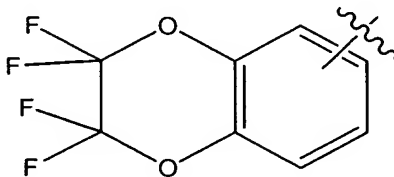
wherein said lower alkyl of R⁴ is substituted with at least one halo.

31. The compound of claim 25,
wherein R^6 is $-SO_2R^5$.
32. The compound of claim 26,
wherein said lower alkyl of said R^4 is substituted with at least one halo.
33. The compound of claim 28, wherein:
 R^{3a} and R^{3b} are each methyl, or taken together form a cyclopropyl or spiroalkyl.
34. The compound of claim 29,
wherein:
 R^1 is monosubstituted phenyl.
35. The compound of claim 29,
wherein said phenyl is substituted with at least one $-OCF_3$.
36. The compound of claim 30,
wherein said halo of R^4 is $-F$.
37. The compound of claim 31,
wherein R^5 of said $-SO_2R^5$ is substituted lower alkyl.
38. The compound of claim 32,
wherein said halo of said R^4 is $-F$.
39. The compound of claim 33, wherein:
 R^2 is $-CH_2CH_2CH_2-$.
40. The compound of claim 34,
wherein:
 R^1 is phenyl substituted with $-OCF_3$.

41. The compound of claim 35,
wherein said phenyl is substituted with at least two $-\text{OCF}_3$.
42. The compound of claim 35,
wherein said phenyl, substituted with at least one $-\text{OCF}_3$, is further substituted
with at least one halo.
43. The compound of claim 35,
wherein said R^{3a} and said R^{3b} are each methyl.
44. The compound of claim 36,
wherein R^4 is $-\text{CF}_3$.
45. The compound of claim 37,
wherein said lower alkyl of R^5 is substituted with at least one halo.
46. The compound of claim 38,
wherein said alkyl of said R^4 is $-\text{CF}_3$.
47. The compound of claim 39, wherein R^1 , optionally substituted, is:



48. The compound of claim 39, wherein R^1 , optionally substituted, is:



49. The compound of claim 40,
wherein:

R^{3a} and R^{3b} are each methyl, or taken together form a cyclopropyl or spiroalkyl.

50. The compound of claim 41,
wherein said phenyl is substituted with two $-\text{OCF}_3$.
51. The compound of claim 41,
wherein said R^{3a} and said R^{3b} are each methyl, or taken together form a cyclopropyl or spiroalkyl.
52. The compound of claim 42,
wherein said halo of said substituted phenyl is $-\text{Cl}$.
53. The compound of claim 42,
wherein said R^{3a} and said R^{3b} are each methyl, or taken together form a cyclopropyl or spiroalkyl.
54. The compound of claim 43,
wherein R^2 is $-\text{CH}_2\text{CH}_2\text{CH}_2-$.
55. The compound of claim 44,
wherein R^{3a} and R^{3b} are each methyl, or taken together form a cyclopropyl or spiroalkyl.
56. The compound of claim 45,
wherein said halo of R^5 is $-\text{F}$.
57. The compound of claim 46,
wherein R^{3a} and R^{3b} are each methyl, or taken together form a cyclopropyl or spiroalkyl.
58. The compound of claim 47, wherein R^{3a} and R^{3b} are each methyl.
59. The compound of claim 48, wherein R^{3a} and R^{3b} are each methyl.

60. The compound of claim 49,
wherein:
R¹ is *para*-trifluoromethoxyphenyl; and
D is -O-.
61. The compound of claim 53,
wherein said R^{3a} and said R^{3b} are each methyl.
62. The compound of claim 54,
wherein:
R¹ is 2,4-bis-trifluoromethoxyphenyl;
D is -O-; and
R⁷ and R⁸ are each hydrogen.
63. The compound of claim 54,
wherein:
R¹ is 4-chloro-3- trifluoromethoxyphenyl;
D is -O-; and
R⁷ and R⁸ are each hydrogen.
64. The compound of claim 54,
wherein:
R¹ is 4,5-dichloro-2-trifluoromethoxyphenyl;
D is -O-; and
R⁷ and R⁸ are each hydrogen.
65. The compound of claim 54,
wherein:
R¹ is 2-chloro-4-trifluoromethoxyphenyl;
D is -O-; and
R⁷ and R⁸ are each hydrogen.
66. The compound of claim 54,
wherein:

R^1 is 4-chloro-2-trifluoromethoxyphenyl;

D is -O-; and

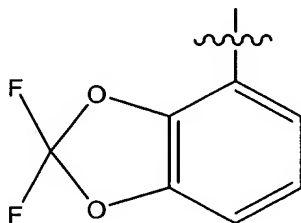
R^7 and R^8 are each hydrogen.

67. The compound of claim 55,
wherein R^{3a} and R^{3b} are each methyl.

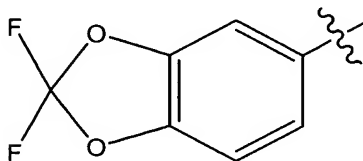
68. The compound of claim 56,
wherein said alkyl of R^5 is $-CF_3$.

69. The compound of claim 57,
wherein R^{3a} and R^{3b} are each methyl.

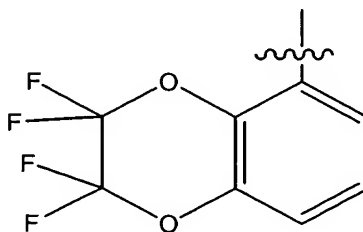
70. The compound of claim 58, wherein R^1 is:



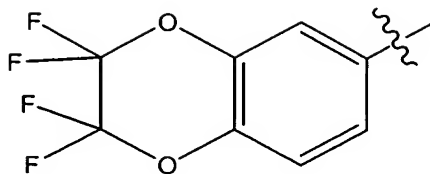
71. The compound of claim 58, wherein R^1 is:



72. The compound of claim 59, wherein R^1 is:



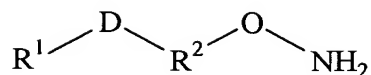
73. The compound of claim 59, wherein R^1 is:



74. The compound of claim 60,
wherein:
 R^7 and R^8 are each hydrogen.
75. The compound of claim 61,
wherein said R^2 is $-\text{CH}_2\text{CH}_2\text{CH}_2-$.
76. The compound of claim 67,
wherein R^2 is $-\text{CH}_2\text{CH}_2\text{CH}_2-$.
77. The compound of claim 68,
wherein R^{3a} and R^{3b} are each methyl, or taken together form a cyclopropyl or spiroalkyl.
78. The compound of claim 69,
wherein R^2 is $-\text{CH}_2\text{CH}_2\text{CH}_2-$.
79. The compound of claim 74,
wherein R^2 is $-\text{CH}_2\text{CH}_2\text{CH}_2-$.
80. The compound of claim 76,
wherein:
 R^1 is 4-(trifluoromethanethio)-phenyl;
D is $-\text{O}-$; and
 R^7 and R^8 are each hydrogen.
81. The compound of claim 77,
wherein:
 R^1 is 4-(trifluoromethanesulfonyl)phenyl;

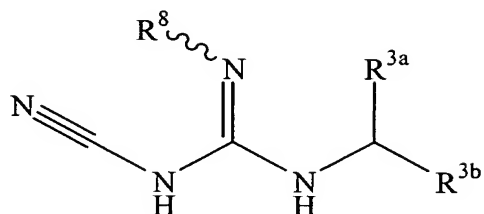
D is -O-; and
R⁷ and R⁸ are each hydrogen.

82. The compound of claim 78,
wherein R^{3a} and R^{3b} are each methyl.
83. The compound of claim 79,
wherein R^{3a} and R^{3b} are each methyl.
84. The compound of claim 79
wherein R^{3a} and R^{3b} taken together form a cyclopropyl or spiroalkyl.
85. The compound of claim 81,
wherein R² is -CH₂CH₂CH₂-.
86. The compound of claim 85,
wherein:
R¹ is 4-(trifluoromethanesulfonamido)-phenyl;
D is -O-; and
R⁷ and R⁸ are each hydrogen.
87. A composition, comprising:
at least one compound according to claim 1; and
at least one pharmaceutically acceptable carrier.
88. The composition of claim 87, further comprising at least one anti-malarial agent or anti-infective agent.
89. The composition of claim 87, further comprising at least one sulfonamide or sulfone.
90. A process for preparing antimicrobial compounds, comprising the steps of: ✓
contacting a compound of formula II:



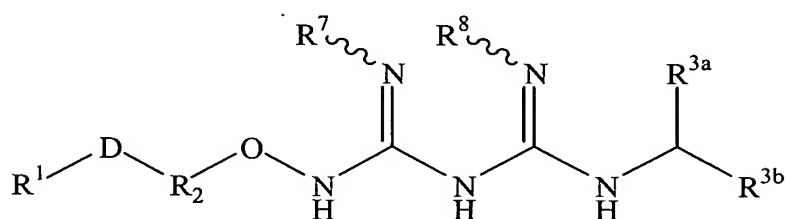
II

with a compound of formula III:



III

for a time and under conditions sufficient to provide a compound of formula I:

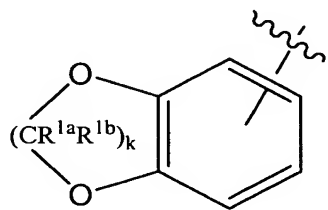


I

wherein:

R^1 is R^x , substituted aryl, substituted alkyl, substituted fused cycloalkylaryl, substituted aralkyl, substituted cycloalkylarylalkyl, substituted heteroaryl, or substituted heteroarylalkyl, wherein the latter seven groups are substituted with at least one substituent selected from the group consisting of -CN, -OCF₃, haloalkoxy, -SR⁴, -OCF₃, -SCF₃, haloalkylthio, -NR⁵R⁶, -SO₂R⁴, -SO₂NR⁵R^{6a}, heteroaryl, heterocyclyl or R¹⁰O-(CH₂CH₂O)_j-, or combinations thereof;

R^x is substituted or unsubstituted:



wherein each R^{1a} and R^{1b} are independently H, alkyl or fluoro;

R^2 is branched or straight chain lower alkylidene, or lower alkylene;

R^{3a} and R^{3b} are each independently hydrogen, lower alkyl, lower alkenyl, lower alkynyl, or taken together form a cycloalkyl or spiroalkyl group;

each R^4 is independently hydrogen, lower alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, aryl, substituted aryl, haloaryl, acyl, or heterocyclyl;

each R^5 is independently hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl substituted aryl, or acyl;

each R^6 is independently hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl substituted aryl, acyl, $-SO_2R^5$, or $SO_2NR^5R^5$; or R^5 and R^6 taken together with the atom to which they are attached form a heterocycle;

each R^{6a} is independently hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl substituted aryl, acyl; or R^5 and R^{6a} taken together with the atom to which they are attached form a heterocycle;

R^7 and R^8 are each, independently, hydrogen, alkyl, or acyl;

D is lower alkylidene, lower alkylene, -O-, -S-, or -N(R^9)-;

R^9 is hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl-substituted aryl, or acyl;

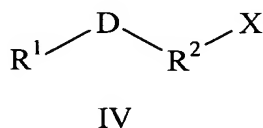
R^{10} is alkyl or haloalkyl;

j is an integer from 1 to 20; and

k is an integer from 1 to 4;

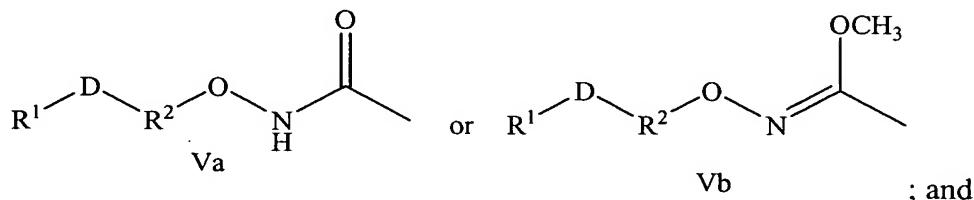
or a pharmaceutically acceptable salt thereof.

91. The process of claim 90, wherein the compound of the formula II is produced by contacting a compound of the formula IV:



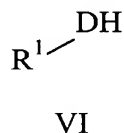
wherein X is halo, methanesulfonate, or *para*-toluene sulfonate;

with an alkaline salt of acetohydroxamic acid to form an intermediate of the formula Va or with an alkaline salt of N-hydroxyacetimide to form an intermediate of formula Vb:

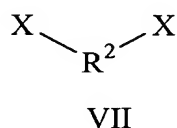


contacting the intermediate of the formula Va or Vb with acid for a time and under conditions effective to provide the compound of the formula II.

92. The process of claim 91, wherein a compound of the formula IV is produced by contacting a compound of the formula VI:



with a compound of the formula VII:



wherein each X is, independently halo, methanesulfonate, or *para*-toluene sulfonate;

for a time and under conditions sufficient to provide the compound of formula IV.

93. The process of claim 92,

wherein:

R¹ is *para*-trifluoromethoxyphenyl;

R² is -CH₂CH₂CH₂-;

R^{3a} and R^{3b} are each independently methyl, or taken together form a cycloalkyl, R⁷ and R⁸ are each, independently, H; and

D is -O-.

94. The process of claim 92,

wherein:

R^1 is 4-trifluoromethanethiophenyl, 4-trifluoromethanesulfonylphenyl, or 4-trifluoromethanesulfonamidophenyl;

R^2 is $-\text{CH}_2\text{CH}_2\text{CH}_2-$;

R^{3a} and R^{3b} are each independently methyl, or taken together form a cycloalkyl group;

R^7 and R^8 are each, independently, H; and

D is -O-.

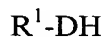
95. A process of claim 91, wherein the compound of the formula IV is produced by contacting a compound of the formula XIV:



XIV

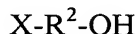
with an alcohol halogenation agent or alcohol sulfonation agent for a time and under conditions sufficient to provide the compound of the formula IV.

96. A process of claim 95, wherein the compound of the formula XIV is produced by contacting a compound of the formula VI:



VI

with a compound of the formula XV:



XV

wherein:

X is halo, methanesulfonate, or *para*-toluenesulfonate; and

R^2 is $-(\text{CH}_2)_n-$, wherein n is 2 to 10;

for a time and under conditions effective to provide the compound of the formula XIV.

97. The process of claim 96,

wherein:

R^1 is 2-chloro-4-trifluoromethoxyphenyl, or 4-chloro-2-trifluoromethoxyphenyl;

R^2 is $-\text{CH}_2\text{CH}_2\text{CH}_2-$;

R^{3a} and R^{3b} are each independently methyl, or taken together form a cycloalkyl group;

R^7 and R^8 are each, independently, H; and

D is $-\text{O}-$.

98. The process of claim 96,

wherein:

R^1 is 2,4-bis-trifluoromethoxyphenyl, 4-chloro-3-trifluoromethoxyphenyl or 4,5-dichloro-2-trifluoromethoxyphenyl;

R^2 is $-\text{CH}_2\text{CH}_2\text{CH}_2-$;

R^{3a} and R^{3b} are each independently methyl, or taken together form a cycloalkyl group;

R^7 and R^8 are each, independently, H, and D is $-\text{O}-$.

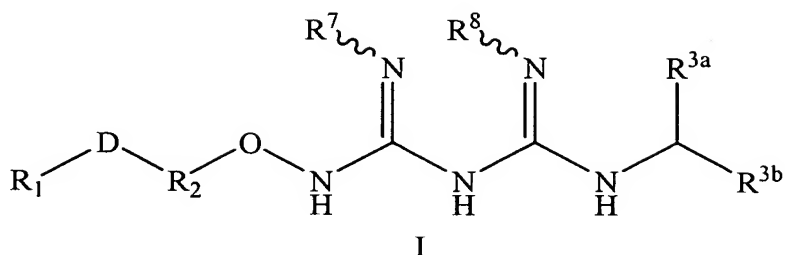
99. A method for reducing in a patient the level of infection caused by an organism selected from the group consisting of *Plasmodium sp.*, *Mycobacterium sp.*, *Toxoplasma gondii*, and *Pneumocystis carinii*, comprising the step of:

administering to said patient in need thereof an effective amount of at least one compound according to claim 1.

100. A method for protecting a patient susceptible to infection caused by exposure to an organism selected from the group consisting of *Plasmodium sp.*, *Mycobacterium sp.*, *Toxoplasma gondii*, and *Pneumocystis carinii*, comprising the step of:

administering to said patient in need thereof an effective amount of at least one compound according to claim 1.

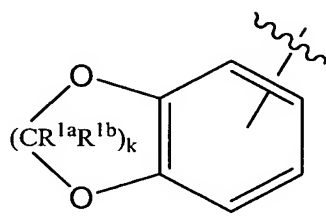
101. A method of evaluating the *in vivo* biological activity of a compound of formula I:



wherein:

R^1 is R^x , substituted aryl, substituted alkyl, substituted fused cycloalkylaryl, substituted aralkyl, substituted cycloalkylarylalkyl, substituted heteroaryl, or substituted heteroarylalkyl, wherein the latter seven groups are substituted with at least one substituent selected from the group consisting of $-CN$, $-OCF_3$, haloalkoxy, $-SR^4$, $-OCF_3$, $-SCF_3$, haloalkylthio, $-NR^5R^6$, $-SO_2R^4$, $-SO_2NR^5R^{6a}$, heteroaryl, heterocyclyl or $R^{10}O-(CH_2CH_2O)_j-$, or combinations thereof;

R^x is substituted or unsubstituted:



wherein each R^{1a} and R^{1b} are independently H, alkyl or fluoro;

R^2 is branched or straight chain lower alkylidene, or lower alkylene;

R^{3a} and R^{3b} are each independently hydrogen, lower alkyl, lower alkenyl, lower alkynyl, or taken together form a cycloalkyl or spiroalkyl group;

each R^4 is independently hydrogen, lower alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, aryl, substituted aryl, haloaryl, acyl, or heterocyclyl;

each R^5 is independently hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl substituted aryl, or acyl;

each R^6 is independently hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl substituted aryl, acyl, $-SO_2R^5$, or $SO_2NR^5R^5$; or R^5 and R^6 taken together with the atom to which they are attached form a heterocycle;

each R^{6a} is independently hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl

substituted aryl, acyl; or R⁵ and R^{6a} taken together with the atom to which they are attached form a heterocycle;

R⁷ and R⁸ are each, independently, hydrogen, alkyl, or acyl;

D is lower alkylidene, lower alkylene, -O-, -S-, or -N(R⁹)-;

R⁹ is hydrogen, alkyl, substituted lower alkyl, lower alkenyl, substituted lower alkenyl, lower alkynyl, substituted lower alkynyl, alkyl-substituted aryl, or acyl;

R¹⁰ is alkyl or haloalkyl;

j is an integer from 1 to 20; and

k is an integer from 1 to 4;

or a pharmaceutically acceptable salt thereof;

comprising the step of:

assaying *in vitro* for the biological activity of the product of the oxidative cyclization of the compound of formula I.